

A41078M

1/7



## PCT REQUEST

Original (for SUBMISSION)

|         |   |  |
|---------|---|--|
| 0       | For receiving Office use only   |  |
| 0-1     | International Application No.   |  |
| 0-2     | International Filing Date   |  |
| 0-3     | Name of receiving Office and "PCT International Application"  |  |
| 0-4     | Form - PCT/RO/101 PCT Request   |  |
| 0-4-1   | Prepared Using  | PCT-SAFE [EASY mode]<br>Version 3.50 (Build 0002.158)                      |
| 0-5     | Petition<br>The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty |  |
| 0-6     | Receiving Office (specified by the applicant)   | Japan Patent Office (RO/JP)  |
| 0-7     | Applicant's or agent's file reference   | A41078M  |
| I       | Title of Invention  | 3-SUBSTITUTED-4-PYRIMIDONE DERIVATIVES                                     |
| II      | Applicant   |  |
| II-1    | This person is:   | applicant only   |
| II-2    | Applicant for   | all designated States except US  |
| II-4    | Name:   | MITSUBISHI PHARMA CORPORATION  |
| II-5    | Address:  | 6-9, Hiranomachi 2-chome, Chuo-ku,<br>Osaka-shi, Osaka<br>5410046<br>Japan |
| II-6    | State of nationality  | JP   |
| II-7    | State of residence  | JP   |
| III-1   | Applicant and/or inventor   |  |
| III-1-1 | This person is:   | applicant only   |
| III-1-2 | Applicant for   | all designated States except US  |
| III-1-4 | Name:   | SANOFI-SYNTHELABO  |
| III-1-5 | Address:  | 174 AVENUE DE FRANCE PARIS<br>75013<br>France                              |
| III-1-6 | State of nationality  | FR   |
| III-1-7 | State of residence  | FR   |

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|         |                           |   |
|---------|---------------------------|---|
| III-2   | Applicant and/or inventor |   |
| III-2-1 | This person is:           | applicant and inventor  |
| III-2-2 | Applicant for             | US only   |
| III-2-4 | Name (LAST, First)        | WATANABE, Kazutoshi   |
| III-2-5 | Address:                  | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-2-6 | State of nationality      | JP  |
| III-2-7 | State of residence        | JP  |
| III-3   | Applicant and/or inventor |   |
| III-3-1 | This person is:           | applicant and inventor  |
| III-3-2 | Applicant for             | US only   |
| III-3-4 | Name (LAST, First)        | UEHARA, Fumiaki   |
| III-3-5 | Address:                  | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-3-6 | State of nationality      | JP  |
| III-3-7 | State of residence        | JP  |
| III-4   | Applicant and/or inventor |   |
| III-4-1 | This person is:           | applicant and inventor  |
| III-4-2 | Applicant for             | US only   |
| III-4-4 | Name (LAST, First)        | HIKI, Shinsuke  |
| III-4-5 | Address:                  | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-4-6 | State of nationality      | JP  |
| III-4-7 | State of residence        | JP  |

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|---------|----------------------------------|---|
| III-5   | <b>Applicant and/or inventor</b> |   |
| III-5-1 | This person is:                  | applicant and inventor  |
| III-5-2 | Applicant for                    | US only   |
| III-5-4 | Name (LAST, First)               | YOKOSHIMA, Satoshi  |
| III-5-5 | Address:                         | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-5-6 | State of nationality             | JP  |
| III-5-7 | State of residence               | JP  |
| III-6   | <b>Applicant and/or inventor</b> |   |
| III-6-1 | This person is:                  | applicant and inventor  |
| III-6-2 | Applicant for                    | US only   |
| III-6-4 | Name (LAST, First)               | USUI, Yoshihiro   |
| III-6-5 | Address:                         | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-6-6 | State of nationality             | JP  |
| III-6-7 | State of residence               | JP  |
| III-7   | <b>Applicant and/or inventor</b> |   |
| III-7-1 | This person is:                  | applicant and inventor  |
| III-7-2 | Applicant for                    | US only   |
| III-7-4 | Name (LAST, First)               | OKUYAMA, Masahiro   |
| III-7-5 | Address:                         | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-7-6 | State of nationality             | JP  |
| III-7-7 | State of residence               | JP  |

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|          |                           |   |
|----------|---------------------------|---|
| III-8    | Applicant and/or Inventor |   |
| III-8-1  | This person is:           | applicant and inventor  |
| III-8-2  | Applicant for             | US only   |
| III-8-4  | Name (LAST, First)        | SHODA, Aya  |
| III-8-5  | Address:                  | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-8-6  | State of nationality      | JP  |
| III-8-7  | State of residence        | JP  |
| III-9    | Applicant and/or Inventor |   |
| III-9-1  | This person is:           | applicant and inventor  |
| III-9-2  | Applicant for             | US only   |
| III-9-4  | Name (LAST, First)        | ARITOMO, Keiichi  |
| III-9-5  | Address:                  | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-9-6  | State of nationality      | JP  |
| III-9-7  | State of residence        | JP  |
| III-10   | Applicant and/or Inventor |   |
| III-10-1 | This person is:           | applicant and inventor  |
| III-10-2 | Applicant for             | US only   |
| III-10-4 | Name (LAST, First)        | KOHARA, Toshiyuki   |
| III-10-5 | Address:                  | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-10-6 | State of nationality      | JP  |
| III-10-7 | State of residence        | JP  |

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|          |  |   |
|----------|--|---|
| III-11   | <b>Applicant and/or inventor</b>   |   |
| III-11-1 | This person is:  | applicant and inventor  |
| III-11-2 | Applicant for  | US only   |
| III-11-4 | Name (LAST, First)   | FUKUNAGA, Kenji   |
| III-11-5 | Address:   | c/o MITSUBISHI PHARMA CORPORATION, TOKYO<br>OFFICE, 2-6, Nihonbashi-honcho 2-chome,<br>Chuo-ku, Tokyo<br>1038405<br>Japan |
| III-11-6 | State of nationality   | JP  |
| III-11-7 | State of residence   | JP  |
| IV-1     | <b>Agent or common representative; or address for correspondence</b>   |   |
|          | The person identified below is hereby/ has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:  | agent   |
| IV-1-1   | Name:  | SIKs & Co.  |
| IV-1-2   | Address:   | 8th Floor, Kyobashi-Nisshoku Bldg., 8-7,<br>Kyobashi 1-chome, Chuo-ku, Tokyo<br>1040031<br>Japan                          |
| IV-1-3   | Telephone No.  | 03-3538-5680  |
| IV-1-4   | Facsimile No.  | 03-3538-5686  |
| V        | <b>DESIGNATIONS</b>  |   |
| V-1      | The filing of this request constitutes under Rule 4.9(a), the designation of all Contracting States bound by the PCT on the international filing date, for the grant of every kind of protection available and, where applicable, for the grant of both regional and national patents. |   |
| VI-1     | <b>Priority claim of earlier national application</b>  |   |
| VI-1-1   | Filing date  | 26 March 2003 (26.03.2003)  |
| VI-1-2   | Number   | 2003-126021   |
| VI-1-3   | Country  | JP  |
| VI-2     | <b>Priority claim of earlier national application</b>  |   |
| VI-2-1   | Filing date  | 26 March 2003 (26.03.2003)  |
| VI-2-2   | Number   | 2003-126022   |
| VI-2-3   | Country  | JP  |


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| <b>VI-3</b>   | <b>Priority document request</b><br>The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) identified above as item(s): | <b>VI-1, VI-2</b>                            |                                    |
| <b>VII-1</b>  | <b>International Searching Authority Chosen</b>   | <b>European Patent Office (EPO) (ISA/EP)</b> |                                    |
| <b>VIII</b>   | <b>Declarations</b>   | <b>Number of declarations</b>                |                                    |
| <b>VIII-1</b> | Declaration as to the identity of the inventor  | -  |                                    |
| <b>VIII-2</b> | Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent  | -  |                                    |
| <b>VIII-3</b> | Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application  | -  |                                    |
| <b>VIII-4</b> | Declaration of inventorship (only for the purposes of the designation of the United States of America)  | -  |                                    |
| <b>VIII-5</b> | Declaration as to non-prejudicial disclosures or exceptions to lack of novelty  | -  |                                    |
| <b>IX</b>     | <b>Check list</b>   | <b>number of sheets</b>                      | <b>electronic file(s) attached</b> |
| <b>IX-1</b>   | Request (including declaration sheets)  | <b>7</b>                                     | <b>✓</b>                           |
| <b>IX-2</b>   | Description   | <b>339</b>                                   | <b>-</b>                           |
| <b>IX-3</b>   | Claims  | <b>18</b>                                    | <b>-</b>                           |
| <b>IX-4</b>   | Abstract  | <b>1</b>                                     | <b>✓</b>                           |
| <b>IX-5</b>   | Drawings  | <b>0</b>                                     | <b>-</b>                           |
| <b>IX-7</b>   | <b>TOTAL</b>  | <b>365</b>                                   |                                    |
|               | <b>Accompanying Items</b>   | <b>paper document(s) attached</b>            | <b>electronic file(s) attached</b> |
| <b>IX-8</b>   | Fee calculation sheet   | <b>✓</b>                                     | <b>-</b>                           |
| <b>IX-17</b>  | PCT-SAFE physical media   | <b>-</b>                                     | <b>✓</b>                           |
| <b>IX-18</b>  | other:  | <b>patent revenue stamps</b>                 |                                    |
| <b>IX-18</b>  | other:  | <b>certificate of payment of fee</b>         |                                    |
| <b>IX-18</b>  | other:  | <b>certificate of payment of fee</b>         |                                    |
| <b>IX-19</b>  | Figure of the drawings which should accompany the abstract  |  |                                    |
| <b>IX-20</b>  | Language of filing of the international application   | <b>English</b>                               |                                    |

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|-------|--|--|
| X-1   | Signature of applicant, agent or common representative |  |
| X-1-1 | Name:  | SIKs & Co.   |
| X-1-2 | Name of signatory                                      | IMAMURA, Masazumi  |
| X-1-3 | Capacity   | Representative Partner   |

## FOR RECEIVING OFFICE USE ONLY

|        |   |        |
|--------|---|--------|
| 10-1   | Date of actual receipt of the purported international application   |        |
| 10-2   | Drawings:   |        |
| 10-2-1 | Received  |        |
| 10-2-2 | Not received  |        |
| 10-3   | Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application |        |
| 10-4   | Date of timely receipt of the required corrections under PCT Article 11(2)  |        |
| 10-5   | International Searching Authority   | ISA/EP |
| 10-6   | Transmittal of search copy delayed until search fee is paid   |        |

## FOR INTERNATIONAL BUREAU USE ONLY

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|------|--|--|
| 11-1 | Date of receipt of the record copy by the International Bureau |  |
|------|--|--|

**PCT (ANNEX - FEE CALCULATION SHEET)**

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(This sheet is not part of and does not count as a sheet of the international application)

|               |  |  |                                      |
|---------------|--|--|--------------------------------------|
| <b>0</b>      | <b>For receiving Office use only</b>                 |  |                                      |
| <b>0-1</b>    | International Application No.                        |  |                                      |
| <b>0-2</b>    | Date stamp of the receiving Office                   |  |                                      |
| <b>0-4</b>    | <b>Form PCT/RO/101 (Annex)</b>                       |  |                                      |
| <b>0-4-1</b>  | PCT Fee Calculation Sheet Prepared Using             | PCT-SAFE [EASY mode]<br>Version 3.50 (Build 0002.158)  |                                      |
| <b>0-9</b>    | Applicant's or agent's file reference                |  | <b>A41078M</b>                       |
| <b>2</b>      | Applicant  |  | <b>MITSUBISHI PHARMA CORPORATION</b> |
| <b>12</b>     | <b>Calculation of prescribed fees</b>                | fee amount/multiplier  | Total amounts (JPY)                  |
| <b>12-1</b>   | Transmittal fee <b>T</b>                             | ⇒  | <b>13000</b>                         |
| <b>12-2-1</b> | Search fee <b>S</b>                                  | ⇒  | <b>196500</b>                        |
| <b>12-2-2</b> | International search to be carried out by            | <b>EP</b>  |                                      |
| <b>12-3</b>   | International filing fee (first 30 sheets) <b>I1</b> | <b>116000</b>  |                                      |
| <b>12-4</b>   | Remaining sheets                                     | <b>335</b>   |                                      |
| <b>12-5</b>   | Additional amount <b>(X)</b>                         | <b>1200</b>  |                                      |
| <b>12-6</b>   | Total additional amount <b>I2</b>                    | <b>402000</b>  |                                      |
| <b>12-7</b>   | <b>I1 + I2 =</b>                                     | <b>518000</b>  |                                      |
| <b>12-12</b>  | EASY Filing reduction <b>R</b>                       | <b>-8300</b>   |                                      |
| <b>12-13</b>  | Total International filing fee (I-R) <b>I</b>        | ⇒  | <b>509700</b>                        |
| <b>12-14</b>  | Fee for priority document                            |  |                                      |
|               | Number of priority documents requested               | <b>0</b>   |                                      |
| <b>12-15</b>  | Fee per document <b>(X)</b>                          | <b>1400</b>  |                                      |
| <b>12-16</b>  | Total priority document fee: <b>P</b>                | ⇒  |                                      |
| <b>12-17</b>  | <b>TOTAL FEES PAYABLE (T+S+I+P)</b>                  | ⇒  | <b>719200</b>                        |
| <b>12-19</b>  | Mode of payment                                      | Transmittal fee: revenue stamps<br>Search fee: bank draft<br>International filing fee : bank draft |                                      |

**REMARKS**

|               |                          |                      |
|---------------|--------------------------|----------------------|
| <b>13-1-1</b> | <b>Applicant Remarks</b> | 110000109 SIKs & Co. |
|---------------|--------------------------|----------------------|



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Original (for SUBMISSION )

|         |                                 |   |
|---------|---------------------------------|---|
| 13-1-1  | Applicant Remarks               | 110000109 SIKs & Co.  |
| 13-2-3  | Validation messages<br>Names    | Green?<br>Applicant 1:Telephone No. missing   |
|         | Validation messages<br>Names    | Green?<br>Applicant 1:Facsimile No. missing   |
|         | Validation messages<br>Names    | Green?<br>Agent 1: Name should preferably be<br>entered in capital letters. Please<br>verify.   |
| 13-2-4  | Validation messages<br>Priority | Yellow<br>The national law for the international<br>priority system will be applied to<br>this international application. If you<br>do not withdraw the priority claim of<br>the earlier national application or JP<br>designation, the earlier national<br>application will cease to have with<br>the same consequence as the withdrawal<br>of it. |
| 13-2-7  | Validation messages<br>Contents | Yellow!<br>The power of attorney or a copy of the<br>general power of attorney will need to<br>be furnished unless all applicants<br>sign the request form.   |
|         | Validation messages<br>Contents | Green?<br>The international application contains<br>no drawings. Please verify.   |
| 13-2-10 | Validation messages<br>Annotate | Green?<br>All indications that can be made on<br>the Request form are specifically<br>provided for by the software. Please<br>confirm validity of additional<br>indication.   |